

## Aminomethylphosphonic and aminomethylphosphinic acids derivatives and their use in the treatment of degenerative articular diseases

Patent Number: EP0703239

Publication date: 1996-03-27

Inventor(s): WOLF ERHARD DR (DE); ROSSMANITH ERHARD (DE); THORWART WERNER DR (DE); RAISS RUTH DR (DE); WEITHMANN KLAUS ULRICH DR (DE)

Applicant(s): HOECHST AG (DE)

Requested Patent: ☐ EP0703239

Application Number: EP19950114360 19950913

Priority Number (s): DE19944433244 19940919

IPC Classification: C07F9/40; A61K31/66; C07F9/38; C07F9/48; C07F9/32; C07F9/655; C07F9/6533; C07F9/59; C07F9/58; C07F9/6512

EC Classification: C07F9/32A7, C07F9/38A7, C07F9/40A7, C07F9/48, C07F9/58R, C07F9/59E, C07F9/59R, C07F9/6512R, C07F9/6533, C07F9/655M60

Equivalents: AU3068695, CA2158517, ☐ DE4433244, ☐ JP8104694, ZA9507674

Cited patent(s): EP0559079; WO9419358; DE2751943

### Abstract

Medicaments contg. alpha-amino-3,5-di-t-butyl-4-hydroxybenzyl- phosphorus cpds. of formula (I) or their salts or stereoisomers are new: R1, R2 = H, 1-12C alkyl\*, 1-12C alkyl (substd. by one or more of (a) phenyl\*; (b) phenyl substd. by 1-3 halo, 1-3C alkyl, 1-3C alkoxy, methylenedioxy, NO2, NH2, amidino, guanidino, OH, 2-7C alkoxy carbonyl and/or CN; (c) 5- or 6-membered monocyclic satd. heterocyclyl; (d) amino opt. mono- or disubstd. by 1-3C alkyl; and (e) 2-4C alkoxy carbonyl; tetrahydronaphthyl; phenyl\*; phenyl substd. by 1-3 f 1-4C alkyl, 1-4C haloalkyl, halo\*, NO2, SO2NH2, amidino, guanidino, 1-3C alkoxy, methylenedioxy, OH, COOH, CN, 2-4C alkoxy carbonyl, CH=CHCOOR (R = H or 1-3C alkyl), NH2 and/or NHQ (Q = a gp. of formula (I) minus NR1R2); naphthyl\*; naphthyl substd. by one or more of the above phenyl substituents; heteroaryl opt. substd. by one or more of phenyl, benzyl and the above phenyl substituents; or NR1R2 = a 4-7-membered ring\* or a 5-7-membered ring in which a C atom is replaced by O, N, NPh or NQ; X, Y = H, 1-6C alkyl, 1-6C alkoxy, 3-6C alkenyloxy, OPh or OH. Also claimed are: (A) cpds. (I) where the asterisked definitions are omitted; (B) cpds. (I) where R1 = phenyl or mono-, di- or trihalophenyl, R2 = H and X and Y are as above but not alkoxy.

Data supplied from the esp@cenet database - I2

(19)



Europäisches Patentamt

European Patent Office

Office européen des brevets



(11)

EP 0 703 239 A1

(12)

## EUROPÄISCHE PATENTANMELDUNG

(43) Veröffentlichungstag:  
27.03.1996 Patentblatt 1996/13

(21) Anmeldenummer: 95114360.1

(22) Anmeldetag: 13.09.1995

(51) Int. Cl.<sup>6</sup>: **C07F 9/40**, A61K 31/66,  
C07F 9/38, C07F 9/48,  
C07F 9/32, C07F 9/655,  
C07F 9/6533, C07F 9/59,  
C07F 9/58, C07F 9/6512

(84) Benannte Vertragsstaaten:  
AT BE CH DE DK ES FR GB GR IE IT LI LU NL PT  
SE

(30) Priorität: 19.09.1994 DE 4433244

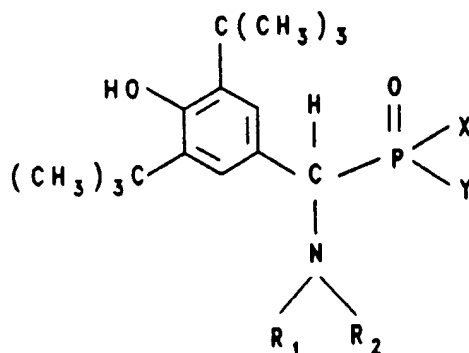
(71) Anmelder: HOECHST AKTIENGESELLSCHAFT  
D-65929 Frankfurt am Main (DE)

(72) Erfinder:

- Wolf, Erhard, Dr.  
D-65719 Hofheim (DE)
- Rossmann, Erhard  
D-65824 Schwalbach (DE)
- Thorwart, Werner, Dr.  
D-65239 Hochheim (DE)
- Raiss, Ruth, Dr.  
D-60322 Frankfurt (DE)
- Weithmann, Klaus Ulrich, Dr.  
D-65719 Hofheim (DE)

(54) **Aminomethylphosphon- und Aminomethylphosphinsäure-Derivate und deren Verwendung zur Behandlung von degenerativen Gelenkserkrankungen**

(57) Verbindungen der Formel I



(1)

eignen sich zur Herstellung von Arzneimitteln zur Behandlung und Prophylaxe von degenerativen Gelenkserkrankungen, aber auch von Erkrankungen des rheumatischen Formenkreises, bei denen Knorpelabbau zu verzeichnen ist, wie bei der chronischen Polyarthritis, dem Gelenktrauma sowie bei Knorpelschwund nach längerer Immobilisation des Gelenks.

EP 0 703 239 A1